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10/635342

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
      2
                 EXTEND option available in structure searching
NEWS
      3
         May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS
         May 12
      4
NEWS
         May 27
                 New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
                 CAplus super roles and document types searchable in REGISTRY
NEWS
         May 27
NEWS
      7
         Jun 28
                 Additional enzyme-catalyzed reactions added to CASREACT
                 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
NEWS
         Jun 28
                 and WATER from CSA now available on STN(R)
NEWS
         Jul 12
                 BEILSTEIN enhanced with new display and select options,
                 resulting in a closer connection to BABS
                 BEILSTEIN on STN workshop to be held August 24 in conjunction
NEWS 10
         Jul 30
                 with the 228th ACS National Meeting
         AUG 02
                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
NEWS 11
                 fields
                 CAplus and CA patent records enhanced with European and Japan
NEWS 12
         AUG 02
                 Patent Office Classifications
         AUG 02
                 STN User Update to be held August 22 in conjunction with the
                 228th ACS National Meeting
         AUG 02
                 The Analysis Edition of STN Express with Discover!
NEWS 14
                 (Version 7.01 for Windows) now available
NEWS 15
         AUG 04
                 Pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover! will change September 1, 2004
                 BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 16
         AUG 27
                 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
NEWS 17
         AUG 27
                 status data from INPADOC
                 INPADOC: New family current-awareness alert (SDI) available
NEWS 18
         SEP 01
NEWS 19
         SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover!
NEWS 20
         SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
             JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
              General Internet Information
NEWS INTER
NEWS LOGIN
              Welcome Banner and News Items
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
              CAS World Wide Web Site (general information)
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FILE 'HOME' ENTERED AT 17:31:50 ON 06 SEP 2004

=> registry

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The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> file regis

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SE

SESSION 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:32:02 ON 06 SEP 2004
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STRUCTURE FILE UPDATES: 5 SEP 2004 HIGHEST RN 740073-48-7 DICTIONARY FILE UPDATES: 5 SEP 2004 HIGHEST RN 740073-48-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> s 656833-53-3/rn

L1 1 656833-53-3/RN

=> d 11

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 656833-53-3 REGISTRY

CN D-threo-Pentonic acid, 3-amino-3,4-dideoxy-5-S-(1-methylethyl)-5-thio-, (2,2-dimethyl-1-oxopropoxy) methyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C14 H27 N O5 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

Refuurs R6's

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.19 2.40

FULL ESTIMATED COST

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FILE COVERS 1907 - 6 Sep 2004 VOL 141 ISS 11 FILE LAST UPDATED: 5 Sep 2004 (20040905/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 11

L2

ΜA

2 L1

=> 4 1-2 bib abs 12

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN 2004:513345 CAPLUS L2

141:59732 ĎΝ

ΓI 3-Amino 2-hydroxyalkanoic acids and their prodrugs

Bamaung/, Nwe Y.; Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Searle,

Xenia A.; Sheppard, George S.; Wang, Jieyi

PA

U.S. Pat. Appl. Publ., 17 pp. SO

CODEN: USXXCO

DTPatent

English

FAN.CNT 1

KIND

APPLICATION NO.

DATE

PATENT NO.

DATE

```
20040624
                                             US 2003-635342
                                                                     20030806
PΙ
     US 2004122098
                           A1
PRAI US 2002-401317P
                                 20020806
ÒS
     MARPAT 141:59732
     Compds. \beta-amino acid derivs. H2NCHR1CH(OH)CO2R2 [R1 = alkyl,
AB
     alkylsulfanylalkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl,
(heterocycle)alkyl, hydroxyalkyl; R2 = H, alkenyl, alkyl,
     alkylcarbonyloxyalkyl, alkylcarbonylalkyl, aryl, arylalkyl, cycloalkyl,
     (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl] or their
     therapeutically-acceptable salts are useful for treating conditions which
     arise from or are exacerbated by angiogenesis. Also disclosed are
     pharmaceutical compns. comprising the compds., methods of treatment using
     the compds., methods of inhibiting angiogenesis, and methods of treating
     cancer. Thus, (2RS, 3R) - 3-amino-2-hydroxy-5-(methylsulfanyl)pentanoic acid
     was prepared
     ANSWER'2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
L2
     2004/120817 CAPLUS
ДŃ
DN
     140,164234
     Preparation of 3-amino-2-hydroxyalkanoic acids and their prodrugs
ΤÌ
     Bamaung, Nwe Y.; Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Searle,
IN
     Xenia B.; Sheppard, George S.; Wang, Jieyi
     Abbott Laboratories, USA
PA
SO
     PCT Int. Appl., 44 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND DATE
                                             APPLICATION NO.
                                                                    DATE
                         ----
                                 -----
                                             -----
PΙ
     WO 2004013085
                          A1
                                 20040212 WO 2003-US24396
                                                                     20030805
         W: CA, JP, MX
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
PRAI US 2002-213655
                          Α
                                 20020806
OS
     MARPAT 140:164234
AB
     β-Amino acid derivs. H2NCHR1CH(OH)CO2R2 [R1 is alkyl, alkylthioalkyl,
     aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclylalkyl, or.
     hydroxyalkyl; R2 is H, alkenyl, alkyl, alkylcarbonyloxyalkyl,
     alkylcarbonylalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl,
     heterocyclyl, or heterocyclylalkyl] or their therapeutically-acceptable
     salts were prepared for use in treating conditions which arise from or are
     exacerbated by angiogenesis. Pharmaceutical compns. containing these compds.
     are used in methods for inhibiting angiogenesis and treating cancer.
     Thus, (2RS,3R)-3-amino-2-hydroxy-5-(methylthio)pentanoic acid was prepared
     from Boc-D-Met-OH (Boc = tert-butoxycarbonyl) by reduction with sodium
     bis(2-methoxyethoxy)aluminum hydride (Red-Al), oxidation of the formed
     hydroxymethyl group with sulfur trioxide pyridine complex, reaction with
     KCN and in situ hydrolysis of the cyanohydrin with 12 M HCl.
=> file registry
COST IN U.S. DOLLARS
                                                  SINCE FILE
                                                                   TOTAL
```

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION
-1.40
-1.40

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STRUCTURE FILE UPDATES: 5 SEP 2004 HIGHEST RN 740073-48-7 DICTIONARY FILE UPDATES: 5 SEP 2004 HIGHEST RN 740073-48-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> s 608519-99-9/rn L3 1 608519-99-9/RN

=> d 13

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 608519-99-9 REGISTRY

CN Hexanoic acid, 3-amino-2-hydroxy-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C6 H13 N O3

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation)

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 14

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 565456-76-0 REGISTRY

CN Cyclobutanebutanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, methyl ester,

```
10635342
```

hydrochloride (9CI) (CA INDEX NAME) C9 H17 N O3 . Cl H MF SR LCSTN Files: CA, CAPLUS, USPATFULL DT.CA CAplus document type: Patent RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)  $H_2N$ OH O CH2-CH-CH-C-OMe ● HCl 1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE) => s 561066-93-1/rn 1 561066-93-1/RN => s 528872-49-3/rn 1 528872-49-3/RN => s 420834-12-4/rn1 420834-12-4/RN => s 369360-56-5/rn 1 369360-56-5/RN => s 289893-13-6/rn 1 289893-13-6/RN => s 13 and 14 and 15 and 16 and 17 and 18 and 19 O L3 AND L4 AND L5 AND L6 AND L7 AND L8 AND L9 => s 13 or 14 or 15 or 16 or 17 or 18 or 19 7 L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9 => d 1-7 l11 L11 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN RN 608519-99-9 REGISTRY Hexanoic acid, 3-amino-2-hydroxy-, (2R,3R)-rel- (9CI) (CA INDEX NAME) CN STEREOSEARCH FS MFC6 H13 N O3 SR CA LCSTN Files: CA, CAPLUS, CASREACT DT.CA CAplus document type: Journal

Relative stereochemistry.

RL.NP Roles from non-patents: PREP (Preparation)

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN 565456-76-0 REGISTRY

CN Cyclobutanebutanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

MF C9 H17 N O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

#### ● HCl

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN **561066-93-1** REGISTRY

CN Heptanoic acid, 3-amino-2-hydroxy- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C7 H15 N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

$$\begin{array}{c|c} ^{H_2N} & \text{OH} \\ & & | & | \\ n\text{-Bu-CH-CH-CO}_2H \end{array}$$

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN 528872-49-3 REGISTRY

CN Benzenebutanoic acid,  $\beta$ -amino-4-fluoro- $\alpha$ -hydroxy-, hydrochloride,  $(\alpha R, \beta S)$ - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C10 H12 F N O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation)

Absolute stereochemistry.

#### HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN 420834-12-4 REGISTRY

CN Benzenepropanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, methyl ester, hydrochloride,  $(\alpha R, \beta S)$ - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C10 H13 N O3 . C1 H

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

CRN (157240-36-3)

Absolute stereochemistry. Rotation (-).

$$\begin{array}{c|c} O & Ph \\ \hline R & S \\ \hline NH_2 \\ \hline OH \end{array}$$

# HCl

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN 369360-56-5 REGISTRY

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (25)-

(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 N O3 S

SR

LCSTN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

DT.CA CAplus document type: Journal; Patent

Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 7 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN289893-13-6 REGISTRY

Benzenepropanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, 2-methylpropyl CN

ester, hydrochloride, (αR,βS) - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C13 H19 N O3 . Cl H

SR

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

Roles from non-patents: BIOL (Biological study); PREP (Preparation);

RACT (Reactant or reagent)

CRN (198881-53-7)

Absolute stereochemistry.

HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 248928-74-7/rn

c L12 248928-74-7/RN

=> s 111 and 112

L13 0 L11 AND L12

=> s l11 or l12 L14 8 L11 OR L12

=> d 1-114

'1-L14' IS NOT A VALID ACCESSION NUMBER

The number entered is not a valid accession number in this file. Enter "HELP ACCESSION" at an arrow prompt (=>) for a list of valid accession number formats in the current file.

=> d 1-8 114

L14 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN 608519-99-9 REGISTRY

CN Hexanoic acid, 3-amino-2-hydroxy-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C6 H13 N O3

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation)

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN **565456-76-0** REGISTRY

CN Cyclobutanebutanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, methyl ester,

hydrochloride (9CI) (CA INDEX NAME)

MF C9 H17 N O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN **561066-93-1** REGISTRY

CN Heptanoic acid, 3-amino-2-hydroxy- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C7 H15 N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

$$^{\mathrm{H_2N}}$$
 OH  $|$   $|$   $|$   $^{\mathrm{n-Bu-CH-CH-CO_2H}}$ 

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN 528872-49-3 REGISTRY

CN Benzenebutanoic acid,  $\beta$ -amino-4-fluoro- $\alpha$ -hydroxy-, hydrochloride,  $(\alpha R, \beta S)$ - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C10 H12 F N O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation)

Absolute stereochemistry.

## ● HCl

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN 420834-12-4 REGISTRY

CN Benzenepropanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, methyl ester, hydrochloride,  $(\alpha R, \beta S)$ - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C10 H13 N O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

DT.CA CAplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

CRN (157240-36-3)

Absolute stereochemistry. Rotation (-).

## HCl

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN 369360-56-5 REGISTRY

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-ethyl-5-thio-, (2ξ)-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 N O3 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN 289893-13-6 REGISTRY

CN Benzenepropanoic acid,  $\beta$ -amino- $\alpha$ -hydroxy-, 2-methylpropyl

ester, hydrochloride, (αR,βS) - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C13 H19 N O3 . Cl H

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA Caplus document type: Journal

CRN (198881-53-7)

Absolute stereochemistry.

# HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2004 ACS on STN

RN 248928-74-7 REGISTRY

CN D-glycero-Pentonic acid, 3-amino-3,4-dideoxy-5-S-methyl-5-thio-, (2ξ)-

(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C6 H13 N O3 S

SR CA

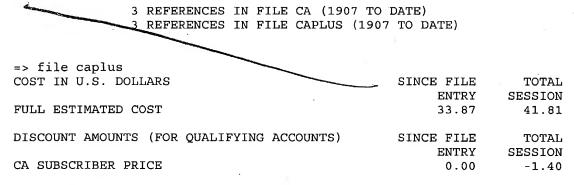
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

Absolute stereochemistry.

$$HO_2C$$
 $R$ 
 $NH_2$ 
 $SMe$ 

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*



FILE 'CAPLUS' ENTERED AT 17:38:54 ON 06 SEP 2004
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FILE COVERS 1907 - 6 Sep 2004 VOL 141 ISS 11 FILE LAST UPDATED: 5 Sep 2004 (20040905/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 114 L15 14 L14

=> d\_1-14 bib abs 115

L15 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:513345 CAPLUS

DN 141:59732

3-Amino-2-hydroxyalkanoic acids and their prodrugs

IN Bamaung, Nwe Y.; Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Searle, Xenia B.; Sheppard, George S.; Wang, Jieyi

PA USA

SO U.S. Pat. Appl. Publ., 17 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
			<del></del>				
PI	US 2004122098	A1	20040624	US 2003-635342	20030806		
PRAI	US 2002-401317P	P	20020806				

OS MARPAT 141:59732

AB Compds. β-amino acid derivs. H2NCHR1CH(OH)CO2R2 [R1 = alkyl, alkylsulfanylalkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, (heterocycle)alkyl, hydroxyalkyl; R2 = H, alkenyl, alkyl, alkylcarbonyloxyalkyl, alkylcarbonylalkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl] or their therapeutically-acceptable salts are useful for treating conditions which arise from or are exacerbated by angiogenesis. Also disclosed are pharmaceutical compns. comprising the compds., methods of treatment using the compds., methods of inhibiting angiogenesis, and methods of treating cancer. Thus, (2RS,3R)-3-amino-2-hydroxy-5-(methylsulfanyl)pentanoic acid was prepared

L1/5 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:120817 CAPLUS

DN 140:164234

TI Preparation of 3-amino-2-hydroxyalkanoic acids and their prodrugs

IN Bamaung, Nwe Y.; Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Searle, Xenia B.; Sheppard, George S.; Wang, Jieyi

PA Abbott Laboratories, USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

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LA English

FAN.CNT 1 DATE APPLICATION NO. DATE PATENT NQ KIND ----\_\_\_\_\_\_ WO 200401308 5 A1 20040212 WO 2003-US24396 20030805 JP, MX W: TCA AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRAI US 2002-213655 A 20020806

OS MARPAT 140:164234

AB β-Amino acid derivs. H2NCHR1CH(OH)CO2R2 [R1 is alkyl, alkylthioalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclylalkyl, or hydroxyalkyl; R2 is H, alkenyl, alkyl, alkylcarbonyloxyalkyl, alkylcarbonylalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, or heterocyclylalkyl] or their therapeutically-acceptable salts were prepared for use in treating conditions which arise from or are exacerbated by angiogenesis. Pharmaceutical compns. containing these compds. are used in methods for inhibiting angiogenesis and treating cancer. Thus, (2RS,3R)-3-amino-2-hydroxy-5-(methylthio)pentanoic acid was prepared from Boc-D-Met-OH (Boc = tert-butoxycarbonyl) by reduction with sodium bis(2-methoxyethoxy)aluminum hydride (Red-Al), oxidation of the formed hydroxymethyl group with sulfur trioxide pyridine complex, reaction with KCN and in situ hydrolysis of the cyanohydrin with 12 M HCl.

L15 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:73161 CAPLUS

DN 140:375319

TI Synthesis and antifeedant properties of N-acylphenylisoserinates of Lactarius sesquiterpenoid alcohols

AU Kopczacki, P.; Gumulka, M.; Masnyk, M.; Sarosiek, A.; Barycki, R.; Ignacak, W.; Zochowski, S.; Grabarczyk, H.; Nowak, G.; Daniewski, W. M.

CS Institute of Organic Chemistry, Polish Academy of Sciences, Warsaw, 01-224, Pol.

SO Polish Journal of Chemistry (2004), 78(1), 89-108 CODEN: PJCHDQ; ISSN: 0137-5083

PB Polish Chemical Society

DT Journal

LA English

AB The esterification of various sesquiterpenoid alcs. of Lactarius origin with N-benzoyl-[2R,3S]-phenylisoserine (side chain of Taxol), N-acetyl-[2R,3S]-phenylisoserine and N-tert-butoxy-[2R,3S]-phenylisoserine (side chain of Taxotere) produced compds. whose antifeedant properties against storage pests Tribolium confusum, Trogoderma granarium, Sitophylus granarius and Rhizoperta dominica were measured. The introduction of the ester moiety in these mols., in comparison to original compds., moderately enhanced their antifeedant activities, as well as changed their selectivity of activity towards the test insects.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

DN 139:292461

NPX

L15 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:617644 CAPLUS

TI First One-Pot Copper-Catalyzed Synthesis of  $\alpha$ -Hydroxy- $\beta$ -Amino Acids in Water. A New Protocol for Preparation of Optically Active Norstatines

AU Fringuelli, Francesco; Pizzo, Ferdinando; Rucci, Mauro; Vaccaro, Luigi

CS Dipartimento di Chimica, Universita di Perugia, Perugia, 06123, Italy

SO Journal of Organic Chemistry (2003), 68(18), 7041-7045 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

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LA English
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OS CASREACT 139:292461

AB  $\alpha$ -Hydroxy- $\beta$ -amino acids were synthesized with excellent yields for the first time in water and by a simple procedure using a copper catalyst. This procedure allows water to be the only reaction medium and the catalyst to be reused.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:591172 CAPLUS

DN 139:133841

TI Preparation of proline compounds as NS3-serine protease inhibitors for use in treatment of hepatitis C virus infection

IN Arasappan, Ashok; Bennett, Frank; Bogen, Stephane L.; Chen, Kevin X.; Jao, Edwin; Liu, Yi-tsung; Lovey, Raymond G.; Madison, Vincent S.; Nair, Latha G.; Njoroge, F. George; Saksena, Anil K.; Sannigrahi, Mousumi; Venkatraman, Srikanth; Girijavallabhan, Viyyoor M.

PA Schering Corporation, USA

SO PCT Int. Appl., 86 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI WO 2003062228			A1 20030731		WO 2003-US1752						20030121								
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				ID,	IL,	IN,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,
				MG,	MK,	MN,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SC,	SE,	SG,	SK,
				SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ,	VC,	VN,	YU,	ZA,	ZM,	AM,	AZ,
				BY,	KG,	KZ,	MD,	RU,	TJ,	TM									
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	PRAI	US 2002-350931P			P	•	20020123												
OS MARPAT 139:133841																			
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The invention discloses novel peptides I [Y is alkyl, alkylaryl, AB heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is (un) substituted 1-aziridinyl, 1-azetidinyl, pyrrolidinyl, or piperidinyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II (Boc = tert-butoxycarbonyl) was prepared and showed  $Ki < 5 \mu M$  for inhibition of HCV serine protease.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΙI

ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN L15 AN

2003:340386 CAPLUS

DN, 139:100906

TI

Benzoylalan Ine-Derived Ketoamides Carrying Vinylbenzyl Amino Residues: Discovery of Potent Water-Soluble Calpain Inhibitors with Oral <del>Bioay</del>ailability

ΑU Lubisch, Wilfried; Beckenbach, Edith; Bopp, Sabina; Hofmann, Hans-Peter; Kartal, Arzu; Kaestel, Claudia; Lindner, Tanja; Metz-Garrecht, Marion; Reeb, Jutta; Regner, Ferdinand; Vierling, Michael; Moeller, Achim Neuroscience Discovery Research, Abbott GmbH & Co. KG, Ludwigshafen, CS

D-67008, Germany

SO Journal of Medicinal Chemistry (2003), 46(12), 2404-2412 CODEN: JMCMAR; ISSN: 0022-2628

PB American Chemical Society

DT Journal

LA English

OS CASREACT 139:100906

Novel benzoylalanine-derived ketoamides were prepared and evaluated for AB calpain I inhibition. Derivs. carrying vinylbenzyl amino residues in the P2-P3 region inhibited calpain in nanomolar concns. and thus represent a novel class of nonpeptidic calpain inhibitors. Selected examples exhibited an improved pharmacokinetic profile including improved water-solubility and metabolic stability. In particular, these calpain inhibitors showed oral bioavailability in rats as demonstrated by N-(1-benzyl-2-carbamoyl-2-oxoethyl)-2-[E-2-(4diethylaminomethylphenyl)ethen-1-yl]benzamide. The closely related derivative N-(1-carbamoyl-1-oxohex-1-yl)-2-[E-2-(4-dimethylaminomethylphenyl)-ethen-1yl]benzamide (I) was evaluated for neuroprotective efficacy after exptl. traumatic brain injury in a fluid percussion model in rats. When administered after injury, I reduced the number of damaged neurons by 41%, and this result would be in line with the suggested neuroprotective efficacy of calpain inhibition.

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:270148 CAPLUS

DN 139:2799

TI Physiologically Relevant Metal Cofactor for Methionine Aminopeptidase-2 Is Manganese

AU Wang, Jieyi; Sheppard, George S.; Lou, Pingping; Kawai, Megumi; Park, Chang; Egan, David A.; Schneider, Andrew; Bouska, Jennifer; Lesniewski, Rick; Henkin, Jack

CS Cancer Research, Advanced Technology, Global Pharmaceutical R & D, Abbott Laboratories, Abbott Park, IL, 60064, USA

SO Biochemistry (2003), 42(17), 5035-5042 CODEN: BICHAW; ISSN: 0006-2960

PB American Chemical Society

DT Journal

LA English

OS CASREACT 139:2799

AB The identity of the

The identity of the physiol. metal cofactor for human methionine aminopeptidase-2 (MetAP2) has not been established. To examine this question, we first investigated the effect of eight divalent metal ions, including Ca2+, Co2+, Cu2+, Fe2+, Mg2+, Mn2+, Ni2+, and Zn2+, on recombinant human methionine aminopeptidase apoenzymes in releasing N-terminal methionine from three peptide substrates: MAS, MGAQFSKT, and 3H-MASK(biotin)G. The activity of MetAP2 on either MAS or MGAQFSKT was enhanced 15-25-fold by Co2+ or Mn2+ metal ions in a broad concentration range  $(1-1000 \mu M)$ . In the presence of reduced glutathione to mimic the cellular environment, Co2+ and Mn2+ were also the best stimulators (.apprx.30-fold) for MetAP2 enzyme activity. To determine which metal ion is physiol. relevant, we then tested inhibition of intracellular MetAP2 with synthetic inhibitors selective for MetAP2 with different metal cofactors. A-310840 below 10 μM did not inhibit the activity of MetAP2-Mn2+ but was very potent against MetAP2 with other metal ions including Co2+, Fe2+, Ni2+, and Zn2+ in the in vitro enzyme assays. In contrast, A-311263 inhibited MetAP2 with Mn2+, as well as Co2+, Fe2+, Ni2+, and Zn2+. In cell culture assays, A-310840 did not inhibit intracellular MetAP2 enzyme activity and did not inhibit cell proliferation despite its ability to permeate and accumulate in cytosol, while A-311263 inhibited both intracellular MetAP2 and proliferation in a similar concentration range, indicating cellular MetAP2 is functioning as a manganese enzyme but not as

a cobalt, zinc, iron, or nickel enzyme. We conclude that MetAP2 is a manganese enzyme and that therapeutic MetAP2 inhibitors should inhibit MetAP2-Mn2+.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:943551 CAPLUS

- DN 138:402053
- TI\ Improved large-scale synthesis of phenylisoserine and the taxol C-13 side chain
- AU Voronkov, Michael V.; Gontcharov, Alexander V.; Wang, Zhi-Min
- CS Lexicon Pharmaceuticals, East Windsor, NJ, 08520, USA
- SO Tetrahedron Letters (2002), Volume Date 2003, 44(2), 407-409 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 138:402053
- AB Dihydrodihydroxycinnamic acids and their esters react with acetonitrile or benzonitrile in the presence of sulfuric acid to afford the corresponding syn- $\beta$ -amino- $\alpha$ -hydroxypropionic acid derivs. High yields and diastereoselectivity of this transformation allows preparation of various phenylisoserine derivs. on a practical scale.
- RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L15 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:205594 CAPLUS

- DN 137:33421
- TI Synthesis of N-acetyl-3-phenylisoserinates of sesquiterpenoid alcohols of Lactarius origin
- AU Barycki, Rafal; Gumulka, Maria; Masnyk, Marek; Daniewski, Wlodzimierz M.; Kobus, Miroslaw; Luczak, Miroslaw
- CS Institute of Organic Chemistry, Polish Academy of Sciences, Warsaw, 01-224, Pol.
- SO Collection of Czechoslovak Chemical Communications (2002), 67(1), 75-82 CODEN: CCCCAK; ISSN: 0010-0765
- PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
- DT Journal
- LA English
- OS CASREACT 137:33421

GI

AB Important biol. properties of Taxol i.e. 13-N-benzoyl-(2R,3S)-3-phenylisoserinate of baccatin III and also N-benzoyl-(2R,3S)-3-

phenylisoserinates of several sesquiterpenoid alcs. of Lactarius origin prompted the synthesis of N-acetyl-3-phenylisoserinates of latter alcs. in order to check and compare their biol. properties. Suitably protected phenylisoserine I when reacted with sesquiterpenoid alcs. in the presence of DCC gave appropriate esters. These, after catalytic hydrogenation deprotection produced the corresponding aminols which were acetylated and gave the desired N-acetyl-3-phenylisoserinates, e.g. II.

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

 $\Delta N$ 2002:63093 CAPLUS

136:355349 DN

Synthesis and cytotoxic properties of N-boc-phenylisoserinates of TI sesquiterpenoic alcohols from mushrooms of Lactarius genus, as analogues of Taxotere

Sarosiek, A.; Masnyk, M.; Gumulka, M.; Daniewski, W. M.; Kobus, M.; AU Krawczyk, E.; Luczak, M.

Institute of Organic Chemistry, Polish Academy of Sciences, Warsaw, CS 01-224, Pol.

SO Polish Journal of Chemistry (2002), 76(1), 73-82 CODEN: PJCHDQ; ISSN: 0137-5083

Polish Chemical Society PΒ

DT Journal

English LΑ

CASREACT 136:355349 OS

Sesquiterpenoic analogs of Taxotere, i.e., N-BOC-phenylisoserinates of AB sesquiterpenoic alcs., isolated from mushrooms of Lactarius genus, were synthesized. Cytotoxicity of the compds. thus obtained was evaluated using Vero cells.

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 10 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN 1.15

2002:11099 CAPLUS AN

136:69597 DN

TI

Synthesis of hydrazide and  $\alpha$ -alkoxyamide angiogenesis inhibitors Craig, Richard A.; Kawai, Megumi; Lynch, Linda M.; Patel, Jyoti R. IN Sheppard, George S.; Wang, Jieyi; Yang, Fan; Ba-Maung, Nwe

PA

U.S. Pat. Appl. Publ., 78 pp. SO

CODEN: USXXCO

MARPAT 136:69597

DTPatent

LÀ English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI US 2002002152	A1	20020103	US 2001-833917	20010412		
US 2004167126	A1	20040826	US 2004-782502	20040219		
PRAI US 2000-197262P	P	20000414		·		
US 2001-833917	A1	20010412				

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from ethyl benzoylacetate
      Wuts, P. G. M.; Gu, R. L.; Northuis, J. M.
AU
CS
      Chemical Process Research and Development, Pharmacia and Upjohn Inc.,
      Kalamazoo, MI, 49001, USA
      Tetrahedron: Asymmetry (2000), 11(10), 2117-2123
SO
      CODEN: TASYE3; ISSN: 0957-4166
PΒ
      Elsevier Science Ltd.
DT
      Journal
LΑ
      English
OS
      CASREACT 133:208002
      Reduction of Et 2-chloro-3-phenyl-3-oxopropionate with borohydride affords
AΒ
      predominately the syn-chlorohydrin. Resolution of this ester with the lipase
      MAP-10 gives (2S, 3R) -2-chloro-3-hydroxypropionic acid which after
      esterification with MeOH/HCl is converted to the cis-epoxide with
      potassium carbonate and DMF. Aminolysis of the epoxide with aqueous ammonia
      results in ring opening and amide formation. The amide is converted to an
      ester upon treatment with iso-Bu alc. and HCl(g) at 100°C.
      Neutralization then affords the Taxol side chain as the free amine.
RE.CNT 50
                THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT
L15
     ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
      1999:723016 CAPLUS
DN
      131:322917
      Preparation of substituted beta-amino acid as inhibitors of methionine
TI
      aminopeptidase-2 and angiogenesis
      Craig, Richard A.; Henkin, Jack; Kawai, Megumi; Lynch, Linda Lijewski;
IN
      Patel, Jyoti; Sheppard, George S.; Wang, Jieyi
      Abbott Laboratories, USA
PA
      PCT Int. Appl., 153 pp.
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      CODEN: PIXXD2
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FAN.CNT 1
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                              A3
     WO 9957098
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               AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                    EP 1999-921611
     EP 1073633
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               SI, FI, RO
     US 6242494
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PRAI US 1998-71714
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                                      19980501
     US 1999-303807
                              A
                                      19990430
     US 1998-83877P
                               Р
                                      19980501
     WO 1999-US9641
                              W
                                      19990430
OS
     MARPAT 131:322917
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AB Substituted β-amino acids I [R1 = H, alkyl, carboxaldehyde, alkanoyl, substituted alkyl ester; R2 = alkyl, cycloalkyl, (cycloalkyl) alkyl, substituted alkylthio ester, aryl, arylalkyl, substituted alkyl thio; R3 = aminoacyl, substituted alkylamine, cycloalkyl, aryl, ester, amide, heterocycle, substituted amine, sulfonylamine; X = OH, sulfhydryl; Y = H; XY = O, S; n = 0-2] were prepared as potent inhibitors of methionine aminopeptidase-2 and are thus, useful in inhibiting angiogenesis and disease conditions which depend upon angiogenesis for their development such as diabetic retinopathy, tumor growth, and conditions of inflammation. Pharmaceutical compds. containing the compds. and methods of inhibiting methionine aminopeptidase-2, and angiogenesis are also disclosed. Thus, (2RS,3S,1'S)-N-((1-ethoxycarbonyl)ethyl)-3-amino-2-hydroxy-5-(methylthio)pentanamide hydrochloride was prepared and tested as methionine aminopeptidase-2 inhibitor (IC50 = 11 μM).